

## CLAIMS

We claim:

1. A drug formulation comprising:  
a hydrophobic drug in nanoparticulate form;  
an oil phase comprising a saturated fatty acid; and  
a surfactant, wherein the surfactant and saturated fatty acid are selected and combined  
such that the drug formulation automatically forms a stable emulsion upon introduction to an aqueous media.
2. The drug formulation of claim 1, wherein the hydrophobic drug comprises a drug classified as a Class II drug under the Biopharmaceutics Classification System.
3. The drug formulation of claim 1, wherein the hydrophobic drug exhibits a dose/solubility volume of more than 250 ml.
4. The drug formulation of claim 1, wherein the hydrophobic drug comprises particles of hydrophobic drug that are smaller than about 1  $\mu\text{m}$  in all dimensions.
5. The drug formulation of claim 1, wherein the hydrophobic drug comprises particles of hydrophobic drug that are smaller than about 0.5  $\mu\text{m}$  in all dimensions.
6. The drug formulation of claim 1, wherein the hydrophobic drug comprises particles of hydrophobic drug that are smaller than about 0.2  $\mu\text{m}$  in all dimensions.
7. The drug formulation of claim 1, wherein the hydrophobic drug comprises a drug selected from the group consisting of antibacterial agents, antiviral agents, anti-fungal agents, antacids, anti-inflammatory substances, coronary vasodilators, cerebral vasodilators, psychotropics, antineoplastics, stimulants, antihistamines, laxatives, decongestants, vitamins, anti-diarrheal preparations, anti-anginal agents, vasodilators,

anti-arrythmics, anti-hypertensives, vasoconstrictors, anti-migraine drugs, antineoplastic drugs, anticoagulants, anti-thrombotic drugs, analgesics, anti-pyretics, neuromuscular agents, agents acting on the central nervous system, hyperglycemic agents, hypoglycemic agents, thyroid and anti-thyroid preparations, diuretics, anti-spasmodics, uterine relaxants, mineral and nutritional additives, anti-obesity agents, anabolic agents, ani-asthmatics, expectorants, cough suppressants, mucolytics, and anti-uricemic drugs

8. The drug formulation of claim 1, wherein the hydrophobic drug comprises a drug selected from the group consisting of poorly soluble proteins, polypeptides, peptides, proteomimetic and peptidomimetic materials.

9. The drug formulation of claim 1, wherein the fatty acid comprises a saturated C8 through a C12 fatty acid.

10. The drug formulation of claim 1, wherein the fatty acid comprises a saturated C10 fatty acid.

11. The drug formulation of claim 1, wherein the fatty acid comprises capric acid.

12. The drug formulation of claim 1, wherein the fatty acid comprises a blend of fatty acids selected from saturated C8 through C12 fatty acids.

13. The drug formulation of claim 1, wherein the fatty acid comprises 10 wt% to 80 wt% of the drug formulation.

14. The drug formulation of claim 1, wherein the fatty acid comprises 35 wt% to 45 wt% of the drug formulation.

15. The drug formulation of claim 1, wherein hydrophobic drug comprises a drug that exhibits a solubility in the oil phase that is at least 10 times greater than the solubility of the drug in water.

16. The drug formulation of claim 1, wherein hydrophobic drug comprises a drug that exhibits a solubility in the oil phase that is at least 100 times greater than the solubility of the drug in water.

17. The drug formulation of claim 1, wherein hydrophobic drug comprises a drug that exhibits a solubility in the oil phase that is at least 500 times greater than the solubility of the drug in water.

18. The drug formulation of claim 1, wherein the hydrophobic drug comprises from 2 wt% to 50 wt% of the drug formulation.

19. The drug formulation of claim 1, wherein the drug formulation comprises a first amount of hydrophobic drug dissolved within the oil phase and a second amount of hydrophobic drug suspended as a nanoparticulate material, with the first amount of hydrophobic drug and the second amount of hydrophobic drug accounting for 2 wt% to 50 wt% of the drug formulation.

20. The drug formulation of claim 1, wherein the hydrophobic drug comprises from 10 wt% to about 30 wt% of the drug formulation.

21. The drug formulation of claim 1, wherein the drug formulation comprises a first amount of hydrophobic drug dissolved within the oil phase and a second amount of hydrophobic drug suspended as a nanoparticulate material, with the first amount of hydrophobic drug and the second amount of hydrophobic drug accounting for 10 wt% to 30 wt% of the drug formulation.

22. The drug formulation of claim 1, wherein the surfactant comprises a non-ionic surfactant.

23. The drug formulation of claim 1, wherein the surfactant comprises a non-ionic surfactant and accounts for 5 wt% to 90 wt% of the drug formulation.

24. The drug formulation of claim 1, wherein the surfactant comprises a non-ionic surfactant and accounts for 25 wt% to 45 wt% of the drug formulation.

25. The drug formulation of claim 1, wherein the surfactant is selected from the group consisting of polyoxyethylene products of hydrogenated vegetable oils, polyethoxylated castor oils, polyethoxylated hydrogenated castor oils, polyoxyethylene-sorbitan-fatty acid esters, polyoxyethylene castor oil derivatives, and pluronic surfactants.

26. The drug formulation of claim 1, wherein the surfactant is selected from the group consisting of polyoxyethylenated castor oil comprising 9 moles of ethylene oxide, polyoxyethylenated castor oil comprising 15 moles of ethylene oxide, polyoxyethylenated castor oil comprising 25 moles of ethylene oxide, polyoxyethylenated castor oil comprising 35 moles of ethylene oxide, polyoxyethylene castor oil comprising 40 moles of ethylene oxide, polyoxylenated castor oil comprising 52 moles of ethylene oxide, polyoxyethylenated sorbitan monopalmitate comprising 20 moles of ethylene oxide, polyoxyethylenated sorbitan monostearate comprising 20 moles of ethylene oxide, polyoxyethylenated sorbitan monostearate comprising 4 moles of ethylene oxide, polyoxyethylenated sorbitan tristearate comprising 20 moles of ethylene oxide, polyoxyethylenated sorbitan monostearate comprising 20 moles of ethylene oxide, polyoxyethylenated sorbitan trioleate comprising 20 moles of ethylene oxide, polyoxyethylenated stearic acid comprising 8 moles of ethylene oxide, polyoxyethylene lauryl ether, polyoxyethylenated stearic acid comprising 40 moles of ethylene oxide, polyoxyethylenated stearic acid comprising 50 moles of ethylene oxide,

polyoxyethylenated stearyl alcohol comprising 2 moles of ethylene oxide, and polyoxyethylenated oleyl alcohol comprising 2 moles of ethylene oxide.

27. The drug formulation of claim 1, wherein the surfactant is selected from the group consisting of NIKKOL HCO-50®, NIKKOL HCO-35®, NIKKOL HCO-40®, NIKKOL HCO-60®, CREMAPHORE®, CREMAPHORE RH40®, CREMAPHORE RH60®, CREMAPHORE RH410®, CREMAPHORE RH455®, and CREMAPHORE EL®, TWEEN 20®, TWEEN 21®, TWEEN 40®, TWEEN 60®, TWEEN 80®, TWEEN 81®, Pluronic F68, Pluronic F108, and Pluronic F127.

28. The drug formulation of claim 1, wherein the surfactant is included in the drug formulation in an amount sufficient to cause the drug formulation to automatically form a stable microemulsion upon introduction to an aqueous media.

29. A drug formulation formed of a nanosuspension of a hydrophobic drug, the drug formulation comprising:

a hydrophobic drug material in nanoparticulate form;

an oil phase comprising a saturated C8 through a C12 fatty acid, wherein the hydrophobic drug material exhibits a solubility in the oil phase that is at least 10 times greater than the solubility of the hydrophobic drug material in water; and

a non-ionic surfactant, wherein the non-ionic surfactant and oil phase are selected and combined such that the drug formulation automatically forms a stable emulsion upon introduction to an aqueous media.

30. The drug formulation of claim 29, wherein hydrophobic drug comprises a drug classified as a Class II drug under the Biopharmaceutics Classification System, the drug exhibiting a dose/solubility volume of more than 250 ml.

31. The drug formulation of claim 30, wherein the hydrophobic drug is selected from the group consisting of hydrophobic drug materials exhibiting an average particle size

that is smaller than about 1  $\mu\text{m}$  in all dimensions, hydrophobic drug materials exhibiting an average particle size that is smaller than about 0.5  $\mu\text{m}$  in all dimensions, and hydrophobic drug materials exhibiting an average particle size that is smaller than about 0.2  $\mu\text{m}$  in all dimensions.

32. The drug formulation of claim 1, wherein the fatty acid comprises 35 wt% to 45 wt% of the drug formulation, and the non-ionic surfactant comprises 25 wt% to 45 wt% of the drug formulation.

33. The drug formulation of claim 32, wherein the wherein the drug formulation comprises a first amount of hydrophobic drug dissolved within the oil phase and a second amount of hydrophobic drug suspended as a nanoparticulate material, with the first amount of hydrophobic drug and the second amount of hydrophobic drug accounting for 10 wt% to 40 wt% of the drug formulation.

34. The drug formulation of claim 29, wherein the surfactant is included in the drug formulation in an amount sufficient to cause the drug formulation to automatically form a stable microemulsion upon introduction to an aqueous media.

35. A drug formulation formed of a nanosuspension of a hydrophobic drug, the drug formulation comprising:

a hydrophobic drug material in nanoparticulate form, wherein the hydrophobic drug material comprises a drug exhibiting a dose/solubility volume of more than 250 ml and is selected from the group consisting of hydrophobic drug materials exhibiting an average particle size that is smaller than about 1  $\mu\text{m}$  in all dimensions, hydrophobic drug materials exhibiting an average particle size that is smaller than about 0.5  $\mu\text{m}$  in all dimensions, and hydrophobic drug materials exhibiting an average particle size that is smaller than about 0.2  $\mu\text{m}$  in all dimensions;

an oil phase comprising a saturated C8 through a C12 fatty acid, wherein the hydrophobic drug material exhibits a solubility in the oil phase that is at least 100 times greater than the solubility of the hydrophobic drug material in water; and

a non-ionic surfactant, wherein the non-ionic surfactant and oil phase are selected and combined such that the drug formulation automatically forms a stable microemulsion upon introduction to an aqueous media.

36. The drug formulation of claim 35, wherein the fatty acid comprises 35 wt% to 45 wt% of the drug formulation, and the non-ionic surfactant comprises 25 wt% to 45 wt% of the drug formulation.

37. The drug formulation of claim 36, wherein the drug formulation comprises a first amount of hydrophobic drug dissolved within the oil phase and a second amount of hydrophobic drug suspended as a nanoparticulate material, with the first amount of hydrophobic drug and the second amount of hydrophobic drug accounting for 10 wt% to 40 wt% of the drug formulation.

38. The drug formulation of claim 1, wherein the hydrophobic drug, the oil phase, and the surfactant are selected and combined such that the drug formulation provides at least a four-fold increase in the bioavailability of the hydrophobic drug when delivered from a controlled release dosage form relative to a tableted, immediate release formulation of the drug.

39. The drug formulation of claim 29, wherein the hydrophobic drug, the oil phase, and the surfactant are selected and combined such that the drug formulation provides at least a four-fold increase in the bioavailability of the hydrophobic drug when delivered from a controlled release dosage form relative to a tableted, immediate release formulation of the drug.

40. The drug formulation of claim 35, wherein the hydrophobic drug, the oil phase, and the surfactant are selected and combined such that the drug formulation provides at least a four-fold increase in the bioavailability of the hydrophobic drug when delivered from a controlled release dosage form relative to a tableted, immediate release formulation of the drug.